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A REVIEW ON SYNTHESIS, CHARACTERISATION AND BIOLOGICAL SCREENING OF NOVEL INDOLE DERIVATIVES FOR CERTAIN PHARMACOLOGICAL ACTIVITIES

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ABSTRACT: Indole derivatives are prepared by heating a mixture of ketone and phenylhydrazine for 1 hour in a water bath. Poured the heated mixture to a flask and added previously boiled acid to it and again heated and stirred for an additional 10 min. Added the mixture to 25 ml of ice water. As an intercellular signal molecule, indole regulates various aspects of bacterial physiology, including spore formation, plasmid stability, and resistance to drugs, biofilm formation, and virulence. Indole derivatives show antimicrobial, antidepressant, anticonvulsant, anti-inflammatory, and analgesic activities.

Keywords: Indole, Anti-inflammatory, Analgesic, Antimicrobial, Anticonvulsant, Antidepressant activities

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INTRODUCTION: Medicinal chemistry and pharmaceutical chemistry are disciplines at the intersection of chemistry, especially synthetic organic chemistry, and pharmacology and various other biological specialties, where they are involved with the design, chemical synthesis and development for market of pharmaceutical agents, or bio-active molecules (drugs). Indole is an aromatic heterocyclic organic compound with formula C_8H_7N. It has a bicyclic structure, consisting of a six-membered benzene ring fused to a five-membered nitrogen-containing pyrrole ring. The amino acid tryptophan is an indole derivative and the precursor of the neurotransmitter serotonin. Indole is a solid at room temperature.

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Indole undergoes electrophilic substitution. A mixture of ketone (0.01 mmol), phenylhydrazine (1 mmol) was taken and mixed well. Heated the mixture for 1 h in a water bath. Poured the heated mixture to a flask and added previously boiled acid to it and again heated and stirred for an additional 10 min. Added the mixture to 25 ml of ice water.

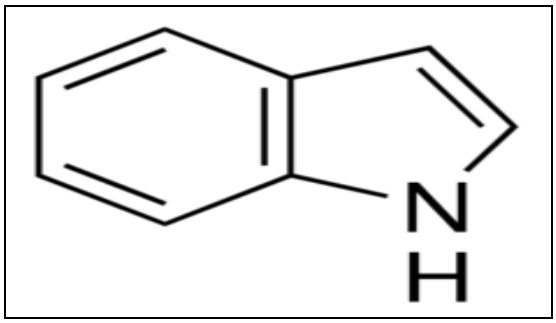

FIG. 1: INDOLE

Determination of physicochemical properties of the synthesized compounds by melting point, solubility profile, and Thin Layer Chromatography. Structure elucidation of the synthesized compounds by IR and NMR.

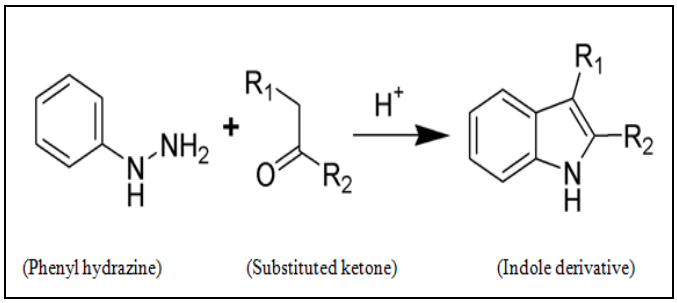

FIG. 2: STRUCTURE ELUCIDATION OF THE SYNTHESIZED COMPOUNDS

The synthesized compounds are screened for its antimicrobial, analgesic, anti-inflammatory, anti-convulsant, and anti-depressant activities. Antimicrobial activity is determined by agar plate diffusion method with ciprofloxacin as standard. Analgesic activity is determined by Eddy's hot plate method with indomethacin as standard. Anti-inflammatory activity is determined by using carrageenan-induced paw edema method. Anticonvulsant activity is determined by maximal electroshock method with phenytoin as standard. Antidepressant activity is determined by forced swim apparatus.

Literature Review: Shahid Sheikh *et al.*, (2013) [1] synthesized new derivatives of indole by using substituted acetophenone and phenylhydrazine, refluxed in the presence of ethanol. The results suggest that the presence of bromo, chloro, hydroxyl, iodo, and methyl groups in different position of the benzene ring of the acetophenones and the use of phenylhydrazine resulted in a new synthesis of indole derivatives with significantly high yield.

Ramesh Dhani *et al.*, (2013) [2] synthesize indole derivatives by vapor-phase reaction of aniline with ethylene glycol in the presence of a catalyst and all the derivatives were screened for their antimicrobial, antiviral, antitubercular, anti-inflammatory, anticancer, antidiabetic, anti-convulsant, antimicrobial, antioxidant, anti-depressant activities.

Asmaa S. Salman *et al.*, (2015) [3] synthesized 3-substituted indole derivatives and screened for their antimicrobial activities. The results suggest that most of the tested compounds revealed better activity against the Gram-positive rather than the Gram-negative bacteria. All test compounds were found to be inactive against *P. aeuroginosa*.

Rajashree S. Chavan *et al.*, (2011) [4] synthesized various derivatives of 3-(2-aminopyrimidine-4- yl) indoles and screened for their analgesic, anti-inflammatory, and ulcerogenic activities. The tested compounds showed comparable analgesic and anti-inflammatory activities with indomethacin but were less irritant to the gastric mucosa.

Adel H. Mandour *et al.*, (2010) [5] synthesized a series of 1, 8-dihydro-1-aryl-8-alkyl pyrazole (3, 4-b) indoles. Formation of the pyrazoloindole derivatives was achieved by treating aryl-hydrazones of N-alkyl indole-3-carboxaldehydes with ten times their mass of polyphosphoric acid as a condensing agent. The newly synthesized compounds were evaluated for their anti-inflammatory, analgesic, and anticonvulsant activities compared to indomethacin, flufenamic acid, and diazepam as positive controls.

Amit K. Singh *et al.*, (2013) [6] synthesized various derivatives of Indole (3-(substituted phenyl)-1-(1H-indol-3-yl)-prop-2-ene - 1 - one) using 3 - acetyl indole as a precursor. The synthesized compounds were screened for their antibacterial and antifungal, antifungal, and anti-inflammatory activities. Results suggest that the compounds synthesized could be used as broad-spectrum antibacterial agents.

CONCLUSION: Indole derivatives are synthesized by heating phenylhydrazine and substituted ketone in a water bath for 1 h, followed by the addition of previously boiled acid. It was characterized by melting point determination, TLC, solubility profile, IR, NMR. The compound shows anti-bacterial, anti-inflammatory, analgesic, anti-convulsant, and antidepressant activities.

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CONFLICT OF INTEREST: Nil

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